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Uploading C:\Program Files\Stnexp\Queries\rkc645b.str

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 13 ful

FULL SEARCH INITIATED 18:59:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

646 TO ITERATE

100.0% PROCESSED

646 ITERATIONS

27 ANSWERS

SEARCH TIME: 00.00.01

L4

27 SEA SSS FUL L3

=> d 1-27

L4 ANSWER 1 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-79-5 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-7-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-, monohydrochloride (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O . Cl H

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CRN (677306-77-3)

Absolute stereochemistry.

● HCl

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L4 ANSWER 2 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-77-3 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-7-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA

INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 3 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-76-2 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-7-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, monohydrochloride (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O . Cl H

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CRN (677306-75-1)

Absolute stereochemistry.

● HCl

- 1 REFERENCES IN FILE CA (1907 TO DATE)
 - 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L4 ANSWER 4 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN677306-75-1 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-7-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI)

INDEX NAME) STEREOSEARCH

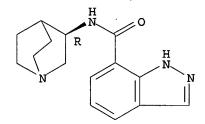
FS MF C15 H18 N4 O

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 5 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN L4

RN677306-66-0 REGISTRY

ED Entered STN: 28 Apr 2004

1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-iodo-CN (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H17 I N4 O

SR CA

STN Files: CA, CAPLUS, TOXCENTER, USPATFULL LC

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 6 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN L4

RN677306-64-8 REGISTRY

ED Entered STN: 28 Apr 2004 CN Formic acid, compd. with N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-(3-thienyl)-1H-indazole-6-carboxamide (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C19 H20 N4 O S . C H2 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 677306-63-7 CMF C19 H20 N4 O S

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о=== сн- он

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 7 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-63-7 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-(3-thienyl)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C19 H20 N4 O S

CI COM

SR CA

Absolute stereochemistry.

L4 ANSWER 8 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-49-9 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-5-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 9 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 677306-39-7 REGISTRY

ED Entered STN: 28 Apr 2004

CN 1H-Indazole-4-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L4 ANSWER 10 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 677306-36-4 REGISTRY
- ED Entered STN: 28 Apr 2004
- CN 1H-Indazole-4-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C15 H18 N4 O
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L4 ANSWER 11 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 521278-46-6 REGISTRY
- ED Entered STN: 28 May 2003
- CN 1H-Indazole-6-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)
- MF C16 H20 N4 O
- SR CA
- LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 12 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 521278-43-3 REGISTRY

ED Entered STN: 28 May 2003

CN 1H-Indazole-5-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI)

(CA INDEX NAME) C16 H20 N4 O

MF C16

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 13 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478828-23-8 REGISTRY

ED Entered STN: 13 Jan 2003

CN 1H-Indazole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

MF C15 H18 N4 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 14 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-73-9 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 15 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-35-3 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-5-carboxamide, 3-ethyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H24 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 16 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-34-2 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, 3-ethyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H24 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 17 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-33-1 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-5-carboxamide, 3-methyl-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H22 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 18 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-32-0 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, 3-methyl-N-[(2S,3R)-2-methyl-1-

azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H22 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPAT7, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 19 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-31-9 REGISTRY

ED Entered STN: 06 Jan 2003.

CN 1H-Indazole-5-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C16 H20 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L4 ANSWER 20 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 478170-05-7 REGISTRY
- ED Entered STN: 06 Jan 2003
- CN 1H-Indazole-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-ethyl-

(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H22 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 21 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-04-6 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-ethyl-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H22 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 22 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-03-5 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C16 H20 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 23 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-02-4 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C16 H20 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 24 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478170-01-3 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 25 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478169-97-0 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

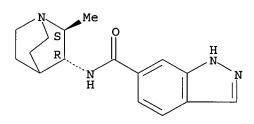
FS STEREOSEARCH

MF C16 H20 N4 O

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 26 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478169-76-5 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O . C4 H4 O4

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

CM 1

CRN 478169-75-4

CMF C15 H18 N4 O

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 27 OF 27 REGISTRY COPYRIGHT 2006 ACS on STN

RN 478169-75-4 REGISTRY

ED Entered STN: 06 Jan 2003

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C15 H18 N4 O

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT7ULL

Absolute stereochemistry.

- **PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
 - 5 REFERENCES IN FILE CA (1907 TO DATE)
 - ·5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'REGISTRY' ENTERED AT 17:24:59 ON 08 NOV 2006

L1 STRUCTURE UPLOADED

L2 0 S L1 FUL

L3 STRUCTURE UPLOADED

L4 27 S L3 FUL

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SINCE FILE TOTAL ENTRY SESSION

388.03

387.82

FULL ESTIMATED COST

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=> s 14

L5 7 L4

=> d 1-7 fbib abs fhitstr

- L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2004:633526 CAPLUS
- DN 141:167817
- TI Treatment of diseases with alpha-7 NACh receptor full agonists
- IN Groppi, Vincent Edward, Jr.; Rogers, Bruce Nelsen; Rudmann, Daniel Gregory
- PA Pharmacia & Upjohn Company, USA
- SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	WO 2004064836	A2	20040805	WO 2004-IB115	20040112		
	WO 2004064836	A3	20041223				

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        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ
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OS MARPAT 141:167817

AB The present invention relates to compositions and methods to treat diseases or conditions with alpha-7 nicotinic acetylcholine receptor (AChR) full agonists by decreasing levels of tumor necrosis factor-alpha and/or by stimulating vascular angiogenesis.

IT 478169-75-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2004:513575 CAPLUS
- DN 141:71755
- TI Preparation of N-(quinuclidinyl)heteroarylamides as nicotinic acetylcholine receptor agonists for use in combination therapy for the

treatment of ADHD Groppi, Vincent Edward, Jr.; Jacobsen, Eric Jon; Myers, Jason Kenneth; IN Piotrowski, David Walter; Rogers, Bruce Nelsen; Walker, Daniel Patrick; Wishka, Donn Gregory PA Pharmacia & Upjohn Company, USA PCT Int. Appl., 141 pp. SO CODEN: PIXXD2 DT Patent English LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. -----_ _ _ _ _____ ----------PIWO 2004052461 **A**1 20040624 WO 2003-IB5542 20031128 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT; LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, US 2002-432586P P 20021211 CA 2509142 20040624 CA 2003-2509142 AA 20031128 US 2002-432586P Ρ 20021211 WO 2003-IB5542 W 20031128 AU 2003283656 **A1** 20040630 AU 2003-283656 20031128 US 2002-432586P Р 20021211 WO 2003-IB5542 W 20031128 EP 1572300 20050914 EP 2003-775637 Α1 20031128 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2002-432586P Ρ 20021211 WO 2003-IB5542 W 20031128 BR 2003017229 Α 20051101 BR 2003-17229 20031128 US 2002-432586P 20021211 Р WO 2003-IB5542 W 20031128 CN 1735441 Α 20060215 CN 2003-80108489 20031128 US 2002-432586P Ρ 20021211 JP 2006510663 T2 20060330 JP 2004-558921 20031128 US 2002-432586P Р 20021211 WO 2003-IB5542 W 20031128 US 2005107425 A1 20050519 US 2004-963922 20041012 US 2002-432586P Р 20021211 US 2003-731402 B1 20031209 NO 2005003185 Α 20050817 NO 2005-3185 20050629 US 2002-432586P Р 20021211 WO 2003-IB5542 W 20031128 os MARPAT 141:71755

Page 17

GI

AB Title N-(1-azabicyclo[2.2.2]octyl) heteroarylamides I and analogs [wherein X = 0, S; R1 = H, (halo)alkyl, cycloalkyl, substituted Ph, naphthyl; R2 = independently halo, cycloalkyl, aryl, (un) substituted alkyl; m = 0-1; n = 0-1; with the proviso that m + n = 1; W = (un) substituted Ph, heterocyclyl, heteroaryl; or pharmaceutically acceptable salts, racemic mixts., or pure enantiomers thereof] were prepared as α7 nicotinic acetylcholine receptor (nAChR) full agonists (no data). For example, reaction of phosgene with 4-bromopyrazole in EtOAc, followed by coupling with (+)-3-aminoquinuclidine•2HCl provided II•HCl (25%). The invention provides for compns. of I with psychostimulants and/or monoamine reuptake inhibitors for the treatment of attention deficit hyperactivity disorder (ADHD).

IT 478169-75-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:513522 CAPLUS

DN 141:71300

TI A preparation of azabicycloalkane derivatives, useful as α 7 nicotinic acetylcholine receptor (α 7 nAChR) agonists

IN Corbett, Jeffrey Wayne; Groppi, Vincent Edward, Jr.

PA Upjohn Company, USA

SO PCT Int. Appl., 151 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to azabicycloalkane derivs. of formula azabicyclo-N(R1)-C(:X)-W [wherein: R1 is H, (cyclo)alkyl, or haloalkyl, etc.; X is O or S; W is a substituted benzene], useful as $\alpha 7$ nAChR agonists. Pharmacokinetics of the prepared compds. were evaluated (no biol. data). Blood-brain barrier penetration was investigated (no biol. data). For instance, chiral azabicycloheptane derivative I was prepared via addition of Me

3-bromopropargylate to N-Boc-pyrrole, reduction of the obtained azabicyclo[2.2.1]heptadiene II, hydrolysis of the obtained azabicycloheptane derivative III (R2 = OMe), reaction of the carboxylic acid III (R2 = OH) with diphenylphosphoryl azide and benzyl alc., resolution of the obtained exo-derivative IV, and hydrogenation.

IT 478169-75-4P

GΙ

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azabicycloalkane derivs. useful as α7 nAChR agonists)

RN478169-75-4 CAPLUS

1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) CN(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN L5

2004:287845 CAPLUS AN

DN 140:321562

Preparation of quinuclidinyl indazoles, benzothiazoles and ΤI benzoisothiazoles for use in pharmaceutical compositions as nicotinic acetylcholine receptor ligands

Tehim, Ashok; Herbert, Brian; Nguyen, Truc Minh; Xie, Wenge; Gauss, Carla IN

Memory Pharmaceuticals Corporation, USA PΑ

PCT Int. Appl., 147 pp. so CODEN: PIXXD2

DT Patent

English LA

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MARPAT 140:321562

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AB Quinuclidine derivs., such as RNHC(:X)W, RC(:X)NHW, RNHCH2W and RCH2NHW [R = quinuclidinyl; W = indazolyl, benzothiazolyl, benzoisothiazolyl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor α7 (α7 nAChR) ligands for the treatment of psychotic or neurodegenerative diseases and disorders involving dysfunction of the cholinergic system. These quinuclidines are claimed for use in the treatment of dementia or memory impairment due to mild cognitive impairment due to Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, depression, aging, head trauma, stroke, CNS hypoxia, cerebral senility, or multiinfarct dementia. These quinuclidines are also claimed for use in the treatment of intoxication, damage associated with strokes, ischemia and glutamate-induced excitotoxicity, smoking cessation or nicotine addiction, pain, jet lag, obesity, diabetes, mild cognitive impairment (MCl), vascular dementia (VaD), age-associated cognitive decline (AACD), amnesia

associated with open-heart-surgery, cardiac arrest, general anesthesia, memory deficits from exposure to anesthetic agents, sleep deprivation induced cognitive impairment, chronic fatigue syndrome, narcolepsy, AIDS-related dementia, epilepsy-related cognitive impairment, Down's syndrome, alcoholism related dementia, drug/substance induced memory impairments, dementia puglistica (boxer syndrome), or loss of cholinergic synapses. Thus, N-quinuclidinyl-amide I was prepared via an amidation reaction of 1,2-benzisothiazole-3-carboxylic acid with 3-(R)-aminoquinuclidine dihydrochloride in a 5/1 mixture of THF/DMF using diisopropylethylamine and HATU. $\alpha 7$ NAChR activity of the prepared quinuclidines were determined using rat brain tissue in a competition assay with [3H]-MLA.

IT 478169-75-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compns. as nicotinic acetylcholine receptor liquids)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2003:356448 CAPLUS
- DN 138:368781
- TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
- IN Walker, Daniel P.; Jacobsen, Eric Jon; Piotrowski, David W.; Wishka, Donn G.; Corbett, Jeffrey W.; Groppi, Vincent E., Jr.; Acker, Brad A.; Rauckhorst, Mark R.
- PA Pharmacia & Upjohn Company, USA
- SO PCT Int. Appl., 116 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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OS MARPAT 138:368781

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N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with

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Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or

symptoms associated with pain. Thus, the fumarate salt of amide I was prepared via a multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-

(phenylmethyl)pyrrolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the corresponding azabicyclic amine with 1,3-benzoxazole-5-carboxylic acid. The prepared amides were assayed for human α 7-5HT3 receptor binding activity.

IT 521278-43-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 521278-43-3 CAPLUS

CN 1H-Indazole-5-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2002:964354 CAPLUS
- DN 138:24866
- TI Preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for the treatment of a variety of central nervous system disorders
- IN Walker, Daniel P.; Wishka, Donn G.; Corbett, Jeffrey W.; Rauckhorst, Mark .
 R.; Piotrowski, David W.; Groppi, Vincent E., Jr.
- PA Pharmacia & Upjohn Company, USA
- SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT Patent

LA English

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US	2001-297632P	P	20010612
US	2001-297633P	P	20010612
US	2001-328548P	P	20011011
US	2002-373496P	P	20020418
US	2002-163565	A3	20020606

OS MARPAT 138:24866

GΙ

N-quinuclidinyl-heteroaryls, such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = aryl, heteroaryl; X = 0, S], were prepared for therapeutic use in the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of (3R)-N-quinuclidinyl amide II was prepared via the formation of 6-benzoxazolecarboxylic acid in 89% yield by cyclization of 4-amino-3-hydroxybenzoic acid and (MeO) 3C at 100° for 2 h followed by amide formation of the acid with (R)-(+)-3-aminoquinuclidine dihydrochloride using DIEA in a 5:1 mixture of THF/DMF and subsequent fumarate salt formation. The prepared quinuclidine derivs. were assayed for nicotinic acetylcholinergic receptor binding activity using brain cell membrane prepared from male Sprague-Dawley rats.

IT 478169-75-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for treatment of a variety of central nervous system disorders)

RN 478169-75-4 CAPLUS

CN 1H-Indazole-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L5
    ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ΑN
     2002:964330 CAPLUS
DN
     138:39295
TI
     Preparation of heterocyclic compounds as Rho-kinase inhibitors
IN
     Imazaki, Naonori; Kitano, Masafumi; Ohashi, Naohito; Matsui, Kazuki
PA
     Sumitomo Pharmaceuticals Company, Limited, Japan
SO
     PCT Int. Appl., 425 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
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PΙ
     WO 2002100833
                         A1
                                20021219
                                           WO 2002-JP5609
                                                                   20020606
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            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
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            UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            JP 2001-176826
                                                                A 20010612
                                            JP 2001-398992
                                                                A 20011228
     EP 1403255
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                                            EP 2002-733352
                         A1
                                                                   20020606
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                                            WO 2002-JP5609
                                                                  20020606
     MARPAT 138:39295
os
GΙ
R1
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AB The title compds. I [wherein one to four groups represented by the general

Ι

formula R1-X are present and may be the same or different from each other; A is a saturated or unsatd. five-membered heterocycle; X is a single bond, N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; R2 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3 is hydrogen, substituted or unsubstituted alkyl, or the like] are prepared N-(1-Benzyl-4-piperidinyl)-1H-indazole-5-amine dihydrochloride monohydrate in vitro showed IC50 of 0.4 $\mu\text{L/mL}$ against Rho-kinase. 478828-23-8P

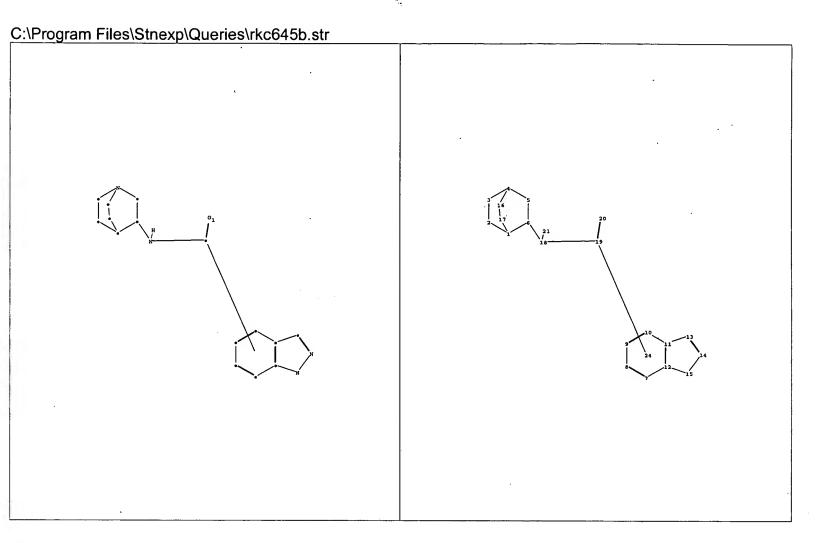
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as Rho-kinase inhibitors) 478828-23-8 CAPLUS

RN 478828-23-8 CAPLUS
CN 1H-Indazole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

IT

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT



chain nodes:

18 19 20 21

ring nodes:

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds:

6-18 18-19 18-21 19-20

ring bonds:

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15 16-17

exact/norm bonds:

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 6-18 11-13 12-15 13-14 14-15 16-17 18-19 19-20

exact bonds:

18-21

normalized bonds:

7-8 7-12 8-9 9-10 10-11 11-12

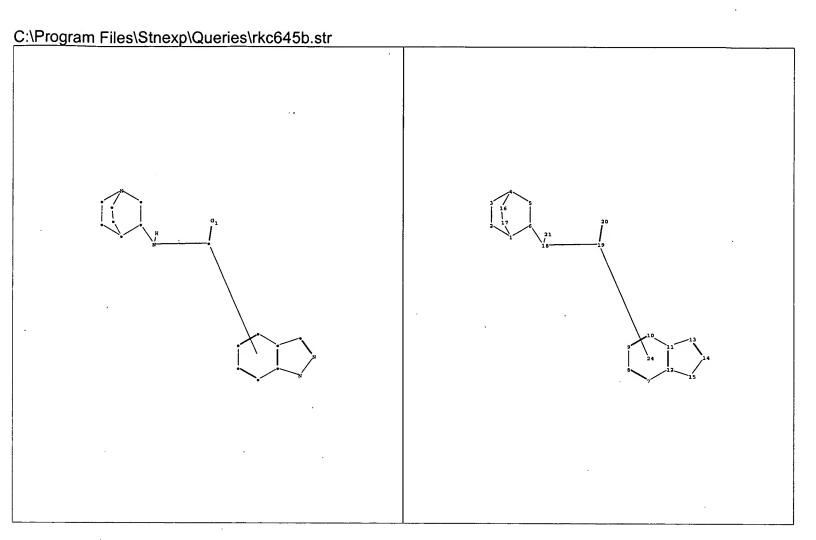
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containing 1: 7:

G1:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLAS\$19:CLAS\$21:CLAS\$21:CLAS\$24:CLAS\$



chain nodes:

18 19 20 21

ring nodes:

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds:

6-18 18-19 18-21 19-20

ring bonds:

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15 16-17

exact/norm bonds:

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 6-18 11-13 12-15 13-14 14-15 16-17 18-19 19-20 exact bonds :

18-21

normalized bonds:

7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems:

containing 1: 7:

G1:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLAS\$19:CLAS\$20:CLAS\$21:CLAS\$24:CLAS\$